

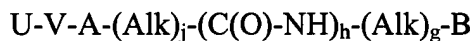
a' transforming growth factor  $\beta 1$  (Munger et al., Cell (Cambridge, Mass) 96:319-328, 1999), and in viral infections (Virology 239:71-77, 1997).

# In the Claims:

Please DELETE Claim 3.

Please AMEND Claims 1, 4 and 5 as follows:

1. (Amended) A compound of the formula



or a pharmaceutically acceptable salt thereof, wherein g, h and j are each independently 0 or 1; provided when h is 0, then g is 0;

each Alk is independently a alkyl radical;

Sub  $\beta 1$  U represents amidino, guanidino,  $-(G-alkyl)_k-NH-R_1$ ,  $-(G-alkyl)_k-NH-C(Q)-R_1$ ,  $-(G-alkyl)_k-C(Q)-N(R)-R_1$ ,  $-(G-alkyl)_k-NH-C(Q)-N(R)-R_1$ ,  $-(G-alkyl)_k-NH-C(Q)-O-R_1$  or  $-(G-alkyl)_k-O-C(Q)-N(R)-R_1$  radical; or U represents a hydroxyalkyl-G- radical which is optionally substituted by a cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

wherein k is 0 or 1;

G represents a bond, O, S or NH;

Q represents O, S, NH, N-CN or N-alkyl;

R is a radical of hydrogen or alkyl;

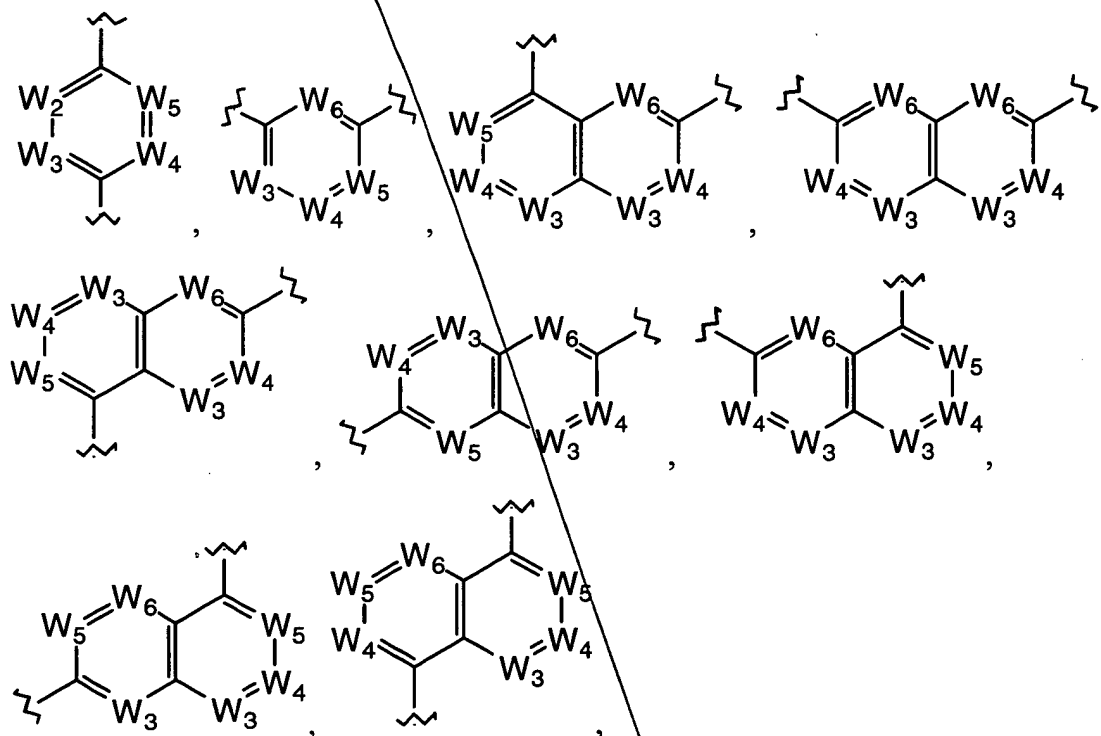
$R_1$  is a radical of alkyl, haloalkyl,  $R_{21}R_{22}N$ -alkyl,  $R_{21}O$ -alkyl,  $R_{21}S$ -alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the

cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

wherein  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each  $R_2$  is independently a halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, alkylamino or dialkylamino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

V represents a radical of formula



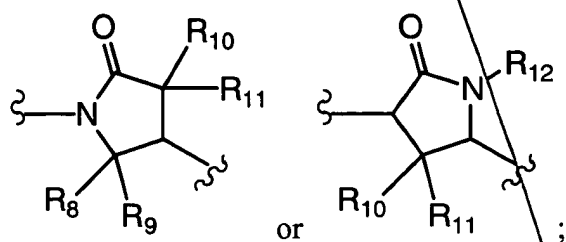
wherein each  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  is  $C-R_4$ ; provided the total number of cycloalkyl, aryl, heteroaryl, heterocyclyl, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-C(O)-N(R_{19})_2$  and  $-R_{19}$  radicals in  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  is 0-2;

each  $W_6$  is C-H; and

each  $R_4$  is independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, cyano, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-C(O)-N(R_{19})_2$ , cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or two adjacent  $R_4$  radicals taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

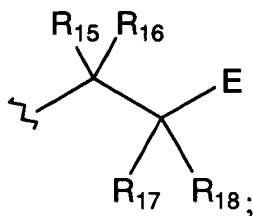
$R_5$ ,  $R_6$  and  $R_7$  are each independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy or cyano radical; or  $R_5$  and  $R_6$  or  $R_6$  and  $R_7$  taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or  $R_3$  and  $R_6$  taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of  $R_2$ ;

A represents a radical of formula



$R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$  and  $R_{12}$  are each independently a hydrogen or alkyl radical; or  $-CR_8R_9-$  represents a  $-C(O)-$ ;

B represents a radical of formula



wherein (a)  $R_{15}$  is a hydrogen or alkyl radical; and  $R_{17}$  is (1) an aryl, heteroaryl,  $-\text{NH}-\text{C}(\text{O})-\text{R}_{19}$ ,  $-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{O}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{O}-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{NH}-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$  or  $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl,  $-\text{NH}-\text{C}(\text{O})-\text{R}_{19}$ ,  $-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{O}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{O}-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{NH}-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$  or  $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$ ; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $\text{R}_2$ ; or

(b)  $R_{17}$  is a hydrogen or alkyl radical; and  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-\text{NH}-\text{C}(\text{O})-\text{R}_{19}$ ,  $-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{O}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{O}-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{NH}-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$  or  $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-\text{NH}-\text{C}(\text{O})-\text{R}_{19}$ ,  $-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{O}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{O}-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{NH}-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$  or  $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$  radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $\text{R}_2$ ;

provided that when a nitrogen atom is attached to the carbon atom to which  $R_{15}$  is attached, then  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or  $-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-\text{NH}-\text{C}(\text{O})-\text{R}_{19}$ ,  $-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{O}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{O}-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{NH}-\text{S}(\text{O})_2-\text{R}_{19}$ ,  $-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$  or  $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$ ;

wherein  $R_{19}$  is a alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $\text{R}_2$ ;

$R_{16}$  and  $R_{18}$  are each independently a hydrogen or alkyl radical; and

*ac*  
 E is a radical of carboxy, amido, tetrazolyl,  $-\text{C}(\text{O})-\text{O}-\text{R}_{20}$ ,  $-\text{C}(\text{O})-\text{NH}-\text{R}_{20}$ ,  $-\text{C}(\text{O})-\text{NH}-\text{S}(\text{O})-\text{R}_{20}$ ,  $-\text{C}(\text{O})-\text{NH}-\text{S}(\text{O})_2-\text{R}_{20}$  or  $-\text{C}(\text{O})-\text{NH}-\text{C}(\text{O})-\text{R}_{20}$ ;

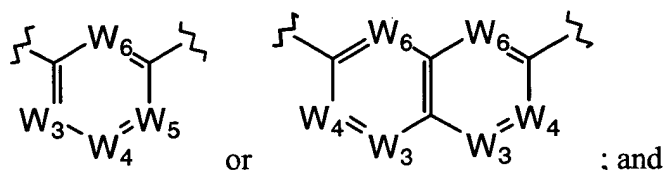
*Sub B1*  
 wherein  $\text{R}_{20}$  is an alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl radical or an alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $\text{R}_2$ ; and

provided that when U represents amidino, guanidino,  $-\text{C}(\text{Q})-\text{NH}-\text{R}_1$  or  $-\text{NH}-\text{C}(\text{Q})-\text{NH}-\text{R}_1$  radical, wherein Q represents NH, N-CN or N-alkyl, then at least one of g, h or j is 1.

*a<sup>3</sup>*  
 4. (Amended) The compound of Claim 2 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a  $\text{C}_1\text{-C}_6$  alkyl radical;

V represents a radical of formula



$\text{R}_8$ ,  $\text{R}_9$ ,  $\text{R}_{10}$ ,  $\text{R}_{11}$  and  $\text{R}_{12}$  are each independently a hydrogen or methyl radical; or  $-\text{CR}_8\text{R}_9-$  represents a  $-\text{C}(\text{O})-$ .

*Sub B3*  
 5. (Amended) The compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a  $\text{C}_1\text{-C}_4$  alkyl radical;

*a<sup>3</sup>*  
 U represents amidino, guanidino,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-N(R)-R_1$  or  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-O-R_1$  radical;

G represents a bond, O or NH;

*Sub B<sup>3</sup>*  
 Q represents O, S, NH, N-CN or N-(C<sub>1</sub>-C<sub>4</sub> alkyl);

R is a radical of hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>1</sub> is a radical of C<sub>1</sub>-C<sub>6</sub> alkyl, halo(C<sub>1</sub>-C<sub>6</sub> alkyl) of 1-5 halo radicals, R<sub>21</sub>R<sub>22</sub>N-(C<sub>1</sub>-C<sub>6</sub> alkyl), R<sub>21</sub>O-(C<sub>1</sub>-C<sub>6</sub> alkyl), C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl(C<sub>1</sub>-C<sub>6</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>6</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

R<sub>21</sub> and R<sub>22</sub> are each independently a radical of hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members or heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

each R<sub>2</sub> is independently a halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C<sub>1</sub>-C<sub>4</sub> alkylamino or di(C<sub>1</sub>-C<sub>4</sub> alkyl)amino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(O)-O-R<sub>19</sub>, -C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -C(O)-N(R<sub>19</sub>)<sub>2</sub>, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl(C<sub>1</sub>-C<sub>4</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-8 ring

Q3  
Sub B3  
members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; and

R<sub>20</sub> is a C<sub>1</sub>-C<sub>4</sub> alkyl, aryl or heteroaryl of 5-10 ring members or a C<sub>1</sub>-C<sub>4</sub> alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

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